

268

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal626gms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock
NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4 Apr 09 ZDB will be removed from STN
NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 9 Jun 03 New e-mail delivery for search results now available
NEWS 10 Jun 10 MEDLINE Reload
NEWS 11 Jun 10 PCTFULL has been reloaded
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
saved answer sets no longer valid
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY
NEWS 15 Jul 30 NETFIRST to be removed from STN
NEWS 16 Aug 08 CANCERLIT reload
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
now available on STN
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file
NEWS 25 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27 Oct 21 EVENTLINE has been reloaded
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04 CSA files on STN
NEWS 35 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17 TOXCENTER enhanced with additional content
NEWS 37 Dec 17 Adis Clinical Trials Insight now available on STN
NEWS 38 Dec 30 ISMEC no longer available
NEWS 39 Jan 13 Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40 Jan 21 NUTRACEUT offering one free connect hour in February 2003
NEWS 41 Jan 21 PHARMAML offering one free connect hour in February 2003
NEWS 42 Jan 29 Simultaneous left and right truncation added to COMPENDEX,

Golam Shameem

03/24/2003

ENERGY, INSPEC
NEWS 43 Feb 13 CANCERLIT is no longer being updated
NEWS 44 Feb 24 METADEX enhancements
NEWS 45 Feb 24 PCTGEN now available on STN
NEWS 46 Feb 24 TEMA now available on STN
NEWS 47 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 48 Feb 26 PCTFULL now contains images
NEWS 49 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 50 Mar 19 APOLLIT offering free connect time in April 2003
NEWS 51 Mar 20 EVENTLINE will be removed from STN

NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:07:29 ON 24 MAR 2003

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:07:42 ON 24 MAR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAR 2003 HIGHEST RN 500280-37-5
DICTIONARY FILE UPDATES: 23 MAR 2003 HIGHEST RN 500280-37-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP

Golam Shameem

PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

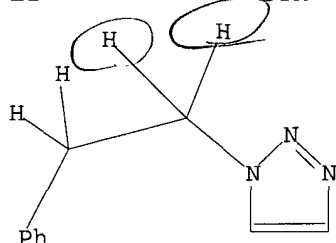
Uploading 10019264.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:08:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 115 TO ITERATE

100.0% PROCESSED 115 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1657 TO 2943
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:08:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2305 TO ITERATE

100.0% PROCESSED 2305 ITERATIONS
SEARCH TIME: 00.00.01

22 ANSWERS

L3 22 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 11:08:16 ON 24 MAR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 Mar 2003 VOL 138 ISS 13
FILE LAST UPDATED: 23 Mar 2003 (20030323/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 26 L3

=> s l3/p

L5 18 L3/P

=> s l3/proc

26 L3

3292349 PROC/RL

L6 0 L3/PROC

(L3 (L) PROC/RL)

=> s l5 and py<=2000

20609220 PY<=2000

L7 17 L5 AND PY<=2000

=> e ikemoto t au/25

'25' IS NOT A VALID EXPAND FIELD CODE FOR FILE 'CAPLUS'

The indicated field code is not available for EXPAND in this file. To see a list of valid EXPAND field codes, enter HELP SFIELDS at an arrow prompt (=>).

=> e tomomi i /au 25

E1 2 TOMOMATU SHIGEKI/AU

E2 2 TOMOMATU TOSHIO/AU

E3 0 --> TOMOMI I/AU

E4 4 TOMOMI HIDEO/AU

E5 1 TOMOMI KAKENORI/AU

E6 4 TOMOMI KAZUO/AU

E7 1 TOMOMI SATOZAWA/AU

E8 1 TOMOMICHI YASUHARU/AU

E9 2 TOMOMITSU ATSUSHI/AU

E10 1 TOMOMITSU HARUMI/AU

E11 2 TOMOMITSU HIDEYUKI/AU

E12 1 TOMOMITSU HIGASHI/AU

E13 1 TOMOMITSU HIROSHI/AU

E14 1 TOMOMITSU HIROYUKI/AU

E15 2 TOMOMITSU KEN/AU

E16 2 TOMOMITSU KENICHI/AU

E17 8 TOMOMITSU KENJI/AU

E18 2 TOMOMITSU KOICHI/AU

E19 1 TOMOMITSU M/AU

E20 1 TOMOMITSU MASATO/AU

E21	7	TOMOMITSU NAGAHIKO/AU
E22	8	TOMOMITSU NAOKI/AU
E23	7	TOMOMITSU OSAHIKO/AU
E24	2	TOMOMITSU T/AU
E25	12	TOMOMITSU TATSUSHI/AU

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

6.78

155.14

STN INTERNATIONAL LOGOFF AT 11:13:10 ON 24 MAR 2003

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
NEWS	3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS	4	Apr 09	ZDB will be removed from STN
NEWS	5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS	6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS	7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS	8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS	9	Jun 03	New e-mail delivery for search results now available
NEWS	10	Jun 10	MEDLINE Reload
NEWS	11	Jun 10	PCTFULL has been reloaded
NEWS	12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS	13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS	14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS	15	Jul 30	NETFIRST to be removed from STN
NEWS	16	Aug 08	CANCERLIT reload
NEWS	17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	18	Aug 08	NTIS has been reloaded and enhanced
NEWS	19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS	21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS	22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	23	Sep 03	JAPIO has been reloaded and enhanced
NEWS	24	Sep 16	Experimental properties added to the REGISTRY file
NEWS	25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	27	Oct 21	EVENTLINE has been reloaded
NEWS	28	Oct 24	BEILSTEIN adds new search fields

Golam Shameem

03/24/2003

NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04 CSA files on STN
NEWS 35 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17 TOXCENTER enhanced with additional content
NEWS 37 Dec 17 Adis Clinical Trials Insight now available on STN
NEWS 38 Dec 30 ISMEC no longer available
NEWS 39 Jan 13 Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40 Jan 21 NUTRACEUT offering one free connect hour in February 2003
NEWS 41 Jan 21 PHARMAML offering one free connect hour in February 2003
NEWS 42 Jan 29 Simultaneous left and right truncation added to COMPENDEX,
ENERGY, INSPEC
NEWS 43 Feb 13 CANCERLIT is no longer being updated
NEWS 44 Feb 24 METADEX enhancements
NEWS 45 Feb 24 PCTGEN now available on STN
NEWS 46 Feb 24 TEMA now available on STN
NEWS 47 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 48 Feb 26 PCTFULL now contains images
NEWS 49 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 50 Mar 19 APOLLIT offering free connect time in April 2003
NEWS 51 Mar 20 EVENTLINE will be removed from STN

NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:15:08 ON 24 MAR 2003

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:15:27 ON 24 MAR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Golam Shameem

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAR 2003 HIGHEST RN 500280-37-5
DICTIONARY FILE UPDATES: 23 MAR 2003 HIGHEST RN 500280-37-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10019264.str

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 11:15:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 115 TO ITERATE

100.0% PROCESSED 115 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1657 TO 2943
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:15:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2305 TO ITERATE

100.0% PROCESSED 2305 ITERATIONS 22 ANSWERS
SEARCH TIME: 00.00.01

L3 22 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 11:15:52 ON 24 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December

26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 Mar 2003 VOL 138 ISS 13
FILE LAST UPDATED: 23 Mar 2003 (20030323/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 26 L3

=> s 13/p

L5 18 L3/P

=> d ibib abs hitstr 15 tot

L5 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:461311 CAPLUS

DOCUMENT NUMBER: 137:33313

TITLE: Preparation of pyrazolo[4,3-e]1,2,4-triazolo[1,5-c]pyrimidines and analogs as adenosine A3 receptor modulators for therapeutic and diagnostic use

INVENTOR(S): Baraldi, Pier Giovanni; Borea, Pier Andrea

PATENT ASSIGNEE(S): Medco Research, Inc., USA

SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 154,435.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6407236	B1	20020618	US 1999-379300	19990823
US 6448253	B1	20020910	US 1998-154435	19980916
WO 2000015231	A1	20000323	WO 1999-US21103	19990915
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9962482	A1	20000403	AU 1999-62482	19990915
AU 749211	B2	20020620		
GB 2353527	A1	20010228	GB 2000-27879	19990915
BR 9913766	A	20010605	BR 1999-13766	19990915
DE 19983530	T	20011108	DE 1999-19983530	19990915
CH 692132	A	20020228	CH 1999-1201	19990915
JP 2002524519	T2	20020806	JP 2000-569815	19990915
FI 2000002367	A	20010119	FI 2000-2367	20001027
SE 2000003984	A	20001222	SE 2000-3984	20001101

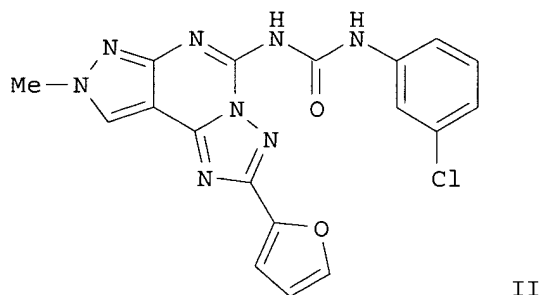
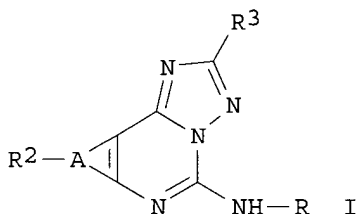
03/24/2003

NO 2000005508
 LU 90687
 PRIORITY APPLN. INFO.:

A 20010315
 A1 20001219

NO 2000-5508 20001101
 LU 2000-90687 20001206
 US 1998-154435 A2 19980916
 US 1999-379300 A 19990823
 WO 1999-US21103 W 19990915

OTHER SOURCE(S): MARPAT 137:33313
 GI



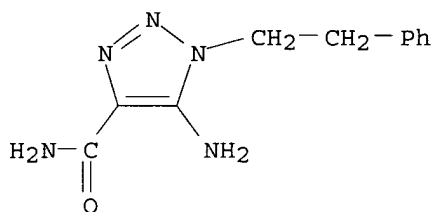
AB Title compds. I [wherein A = imidazole, pyrazole, or triazole; R = CXR1, CXN(R1)2, CXOR1, CXSR1, SOnR1, SOnSR1, or SOnN(R1)2; R1 = H, (hetero)aryl, heterocyclyl, alkanoyl, or (un)substituted alkyl, alkenyl, or alkynyl; or N(R1)2 = azetidiny1 or 5-6 membered heterocyclyl; R2 = H or (un)substituted alkyl, alkenyl, aralkyl, or (hetero)aryl; R3 = (un)substituted (benzo)furanyl, (benzo)pyrrolyl, or (benzo)thiophenyl; X = O, S, or NR1; n = 0-2; or pharmaceutically acceptable salts thereof] were prepd. as selective A3 adenosine receptor agonists. Thus, 3-amino-1H-pyrazole-4-carbonitrile was methylated, treated with tri-Et orthoformate to give the imidate, and cyclized with 2-furoic acid hydrazide to give 8-methyl-2-(2-furyl)pyrazolo[4,3-e]1,2,4-triazolo[1,5-c]pyrimidine (45%). Amination (53%) and addn. of 3-chlorophenyl isocyanate (98%) afforded II, which exhibited binding affinity at the A1, A2, and A3 receptors with Ki values of 5,045 nM, >10,1000 nM, and 0.22 nM, resp. I are useful for the treatment disorders caused by excessive activation of the A3 receptor, such as hypertension, inflammation, mast cell degranulation, cardiac hypoxia, allergic disease, and for protection against cerebral ischemia (no data). In addn., I are useful in diagnostic applications to det. the relative binding of other compds. to the A3 receptor. For instance, the compds. can be labeled, for example with fluorescent or radiolabels, and the labels used in vivo or in vitro to det. the presence of tumor cells which possess a high concn. of adenosine A3 receptors.

IT **159979-98-3P**, 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- **159980-01-5P**, 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-(2-phenylethyl)-

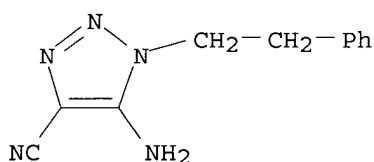
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of pyrazolotriazolopyrimidine and analogs as adenosine A3 receptor agonists for therapeutic and diagnostic use)

RN 159979-98-3 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 159980-01-5 CAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72064 CAPLUS

DOCUMENT NUMBER: 136:118452

TITLE: Method for producing 1-substituted-1,2,3-triazole derivatives

INVENTOR(S): Ikemoto, Tomomi; Ito, Tatsuya; Tomimatsu, Kiminori; Sawai, Yasuhiro; Nishiyama, Hirohiko; Isogami, Yasushi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

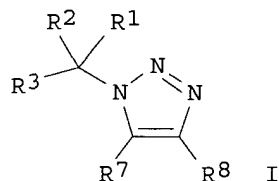
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006249	A1	20020124	WO 2001-JP6145	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003048882	A2	20030221	JP 2001-218718	20010718
PRIORITY APPLN. INFO.:				
			JP 2000-218814	A 20000719
			JP 2000-218834	A 20000719
			JP 2000-284925	A 20000920
			JP 2001-160464	A 20010529

OTHER SOURCE(S): CASREACT 136:118452; MARPAT 136:118452

GI



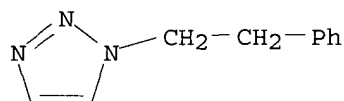
AB The title compds., e.g. I [R1, R2 = H, (un)substituted hydrocarbon group, etc.; R3 = R6(CR4R5)m; R4, R5 = H, (un)substituted hydrocarbon group, etc.; R6 = (un)substituted arom. moiety; m = 0 - 10; R7, R8 = H, halo, etc.], are prepd. by reacting R1C(R2)(R3)X [X = leaving group] with appropriate triazole derivs. (a) in a secondary or tertiary alc. in the presence of a base or (b) in the absence of a base. Thus, a mixt. of 1-(4-chlorobutyl)-4-methoxybenzene, 1H-1,2,3-triazole, potassium iodide, and sodium hydroxide in tert-butanol was refluxed for 11 h to give, after workup and treatment with methanesulfonic acid, 1-[4-(4-methoxyphenyl)butan-1-yl]-1H-1,2,3-triazole methanesulfonic acid salt (II) in 73% yield. II is an intermediate in the prepn. of 1-[4-[4-[[2-[(E)-2-(4-trifluoromethyl)phenyl]ethenyl]-1,3-oxazol-4-yl]methoxy]phenyl]butyl]-1H-1,2,3-triazole (III), useful as a tyrosine kinase inhibitor (no data). A pharmaceutical compn. contg. crystals of III is claimed.

IT 63777-90-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for producing triazole derivs.)

RN 63777-90-2 CAPLUS

CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:190930 CAPLUS

DOCUMENT NUMBER: 132:217158

TITLE: 1,2,4-Triazolo[1,5-c]pyrimidine adenosine A3 receptor modulators, preparation thereof, and therapeutic and diagnostic use

INVENTOR(S): Baraldi, Pier Giovanni; Borea, Pier Andrea

PATENT ASSIGNEE(S): Medco Research Inc., USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

Golam Shameem

03/24/2003

```

-----
WO 2000015231      A1      20000323      WO 1999-US21103  19990915
W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
    CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
    IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
    MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
    SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
    KZ, MD, RU, TJ, TM
RW:  GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
    DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
    CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6448253          B1      20020910          US 1998-154435      19980916
US 6407236          B1      20020618          US 1999-379300      19990823
AU 9962482          A1      20000403          AU 1999-62482       19990915
AU 749211           B2      20020620
GB 2353527          A1      20010228          GB 2000-27879       19990915
BR 9913766          A       20010605          BR 1999-13766       19990915
DE 19983530         T       20011108          DE 1999-19983530    19990915
JP 2002524519       T2      20020806          JP 2000-569815      19990915
FI 2000002367       A       20010119          FI 2000-2367        20001027
SE 2000003984       A       20001222          SE 2000-3984        20001101
NO 2000005508       A       20010315          NO 2000-5508        20001101
LU 90687            A1      20001219          LU 2000-90687       20001206

```

PRIORITY APPLN. INFO.:

```

US 1998-154435      A      19980916
US 1999-379300      A      19990823
WO 1999-US21103     W      19990915

```

OTHER SOURCE(S): MARPAT 132:217158

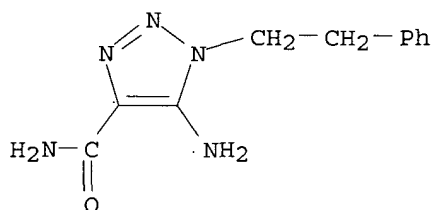
AB The title compds. (Markush included), which have selective A3 adenosine receptor agonist activity, are provided. These compds. can be used in a pharmaceutical compn. to treat disorders caused by excessive activation of the A3 receptor, or can be used in a diagnostic application to det. the relative binding of other compds. to the A3 receptor. The compds. can be labeled, for example with fluorescent or radiolabels, and the labels used in vivo or in vitro to det. the presence of tumor cells which possess a high concn. of adenosine A3 receptors.

IT **159979-98-3P 159980-01-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (triazolopyrimidine adenosine A3 receptor modulator prepn. and
 therapeutic and diagnostic use)

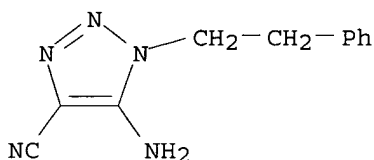
RN 159979-98-3 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- (9CI) (CA
 INDEX NAME)



RN 159980-01-5 CAPLUS

CN 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-(2-phenylethyl)- (9CI) (CA
 INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:146166 CAPLUS

DOCUMENT NUMBER: 128:217210

TITLE: Novel C-2 substituted carbapenem derivatives, Part IV. Synthesis and biological activity of five membered heteroaromatic derivatives

AUTHOR(S): Branch, Clive L.; Burton, George; Clarke, Graham J.; Coulton, Steven; Douglas, James D.; Eglington, A. John; Guest, Angela W.; Hinks, Jeremy D.; Hird, Nicholas W.; Holland, Rebecca K.; Hunt, Eric; Knott, Sarah J.; Moss, Stephen F.; Naylor, Antoinette; Pearson, Michael J.; Takle, Andrew K.

CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, Betchworth, RH3 7AJ, UK

SOURCE: Journal of Antibiotics (1998), 51(2), 210-220
CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis, antibacterial activity, and stability to human dehydropeptidase-1 (DHP-1) of a novel series of (5R,6S)-6-[(1R)-1-hydroxyethyl]-2-heterocyclylcarbapen-2-em-3-carboxylates are described. Of the compds. investigated 1,5-disubstituted pyrazol-3-yl and 3-substituted isoxazol-5-yl derivs. have the best combination of antibacterial activity and stability to DHP-1. They are particularly active against community-acquired respiratory tract pathogens and have stabilities to DHP-1 superior to that of meropenem.

IT 204384-96-3P

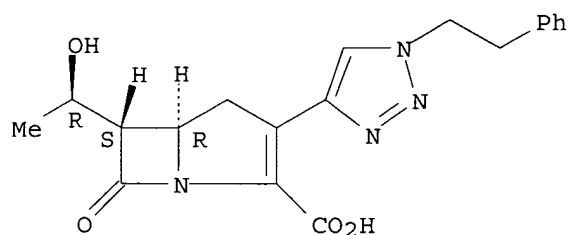
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and biol. activity of five membered heteroarom. derivs. of C-2 substituted carbapenem derivs.)

RN 204384-96-3 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]-, monosodium salt, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:471064 CAPLUS

DOCUMENT NUMBER: 127:190688

TITLE: Alkylation and acylation of the 1,2,3-triazole ring

AUTHOR(S): Ohta, Shunsaku; Kawasaki, Ikuo; Uemura, Takahiro; Yamashita, Masayuki; Yoshioka, Tomomichi; Yamaguchi, Satoshi

CORPORATE SOURCE: Kyoto Pharm. Univ., Kyoto, 607, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(7), 1140-1145

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:190688

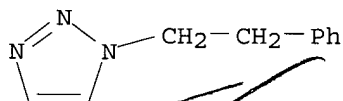
AB Trimethylsilylation of 1,2,3-triazole regioselectively proceeded to give 2-trimethylsilyl-2H-1,2,3-triazole, which was treated with primary alkyl halides in the presence of tetrabutylammonium fluoride to give 1-alkyl-1H-1,2,3-triazoles as a sole product. 1-Methyl-5-substituted 1H-1,2,3-triazoles were prepd. by alkylation of 5-lithio-1-methyl-1H-1,2,3-triazole followed by reductive desulfurization.

IT 63777-90-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of triazole derivs. via alkylation and acylation)

RN 63777-90-2 CAPLUS

CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:501317 CAPLUS

DOCUMENT NUMBER: 122:239712

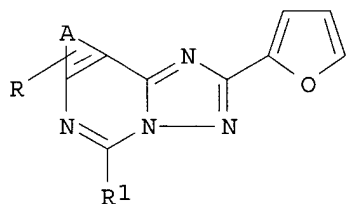
TITLE: Preparation of 1,2,4-triazolo[1,5-c]pyrimidines as adenosine A2 receptor antagonists

INVENTOR(S): Baraldi, Pier Giovanni; Zappaterra, Laura; Ongini,

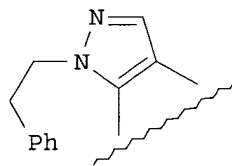
Golam Shameem

Ennio
 PATENT ASSIGNEE(S): Schering-Plough S.p.A., Italy
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501356	A1	19950112	WO 1994-EP2031	19940622
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9470723	A1	19950124	AU 1994-70723	19940622
PRIORITY APPLN. INFO.:			IT 1993-MI1396	19930629
			WO 1994-EP2031	19940622
OTHER SOURCE(S):			MARPAT 122:239712	
GI				



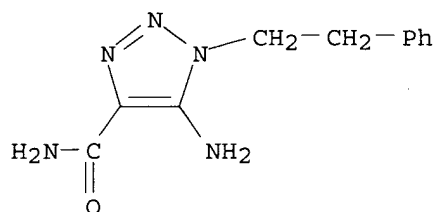
I



II

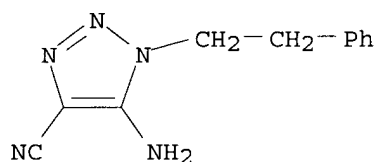
AB Title compds. [I; A = atoms to complete a pyrazole, imidazole, or triazole ring; R = H, (cyclo)alkyl, alkenyl, aryl(alkyl), etc.; R1 = NH2] were prepd. Thus, 1-(2-phenylethyl)-4-cyano-5-aminopyrazole (prepn. given) was condensed with HC(OEt)₃ and the product cyclocondensed with 2-furoic acid hydrazide to give title compd. II (R1 = H) which was ring opened and the product cyclocondensed with NCNH₂ to give II (R1 = NH₂). The latter had Ki of 123 and 2.4nM for binding at adenosine A1 and A2 receptors in vitro, resp.

IT **159979-98-3P 159980-01-5P 159980-06-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 1,2,4-triazolo[1,5-c]pyrimidines as adenosine A2 receptor antagonists)
 RN 159979-98-3 CAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



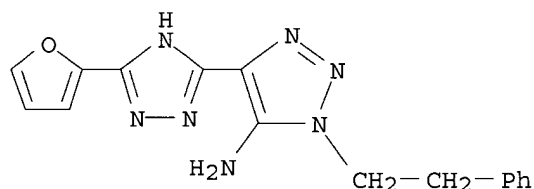
RN 159980-01-5 CAPLUS

CN 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 159980-06-0 CAPLUS

CN 1H-1,2,3-Triazole-5-amine, 4-[5-(2-furanyl)-1H-1,2,4-triazol-3-yl]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:389453 CAPLUS

DOCUMENT NUMBER: 122:290830

TITLE: 1,2,3-Triazolodiazepines. I. Preparation and benzodiazepine receptor binding of 1-benzyl- and 1-phenethyl-1,2,3-triazolo[4,5-b][1,4]diazepines

AUTHOR(S): Biagi, Giuliana; Giorgi, Irene; Livi, Oreste; Scartoni, Valerio; Velo, Silvia; Lucacchini, Antonio; Senatore, Generoso; Barili, Pier Luigi

CORPORATE SOURCE: Dip. Sci. Farmaceutiche, Univ. Pisa, Pisa, 56126, Italy

SOURCE: Journal of Heterocyclic Chemistry (1995), 32(1), 169-76

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:290830

AB Several new 1,2,3-triazolo[4,5-b][1,4]diazepines were prepd. starting from 1-benzyl- and 1-phenethyl-4,5-diamino-1,2,3-triazole by condensation reactions with .beta.-diketones, .beta.-keto esters, and di-Et malonates.

Most of compds. were tested for their ability to displace [3H]flunitrazepam from bovine brain membranes but no compd. showed benzodiazepine receptor binding affinity.

IT 159979-98-3P 163080-12-4P 163080-33-9P

163080-34-0P 163080-36-2P 163080-44-2P

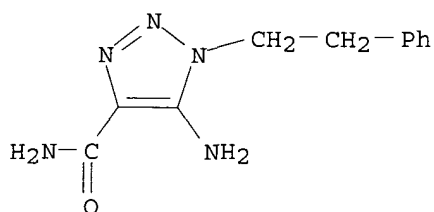
163080-45-3P 163080-46-4P 163080-47-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and benzodiazepine receptor binding of triazolodiazepines)

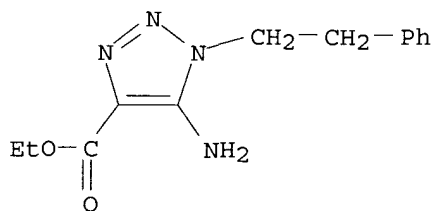
RN 159979-98-3 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



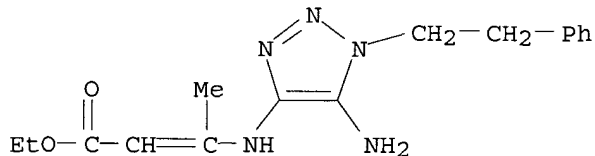
RN 163080-12-4 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-amino-1-(2-phenylethyl)-, ethyl ester (9CI) (CA INDEX NAME)



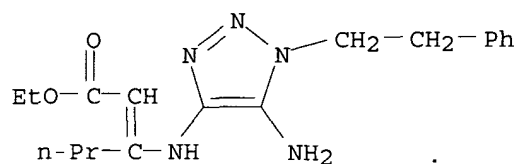
RN 163080-33-9 CAPLUS

CN 2-Butenoic acid, 3-[[5-amino-1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

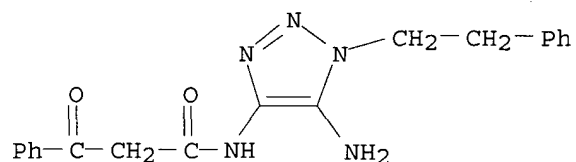


RN 163080-34-0 CAPLUS

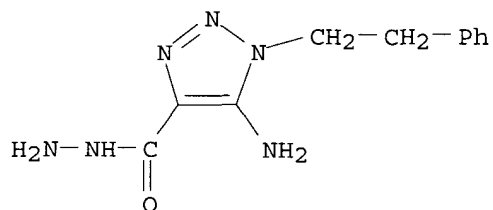
CN 2-Hexenoic acid, 3-[[5-amino-1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



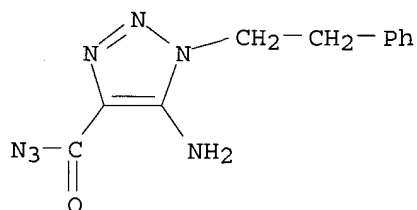
RN 163080-36-2 CAPLUS

CN Benzenepropanamide, N-[5-amino-1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]-
.beta.-oxo- (9CI) (CA INDEX NAME)

RN 163080-44-2 CAPLUS

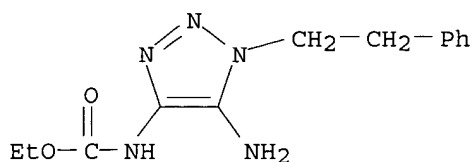
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-amino-1-(2-phenylethyl)-, hydrazide
(9CI) (CA INDEX NAME)

RN 163080-45-3 CAPLUS

CN 1H-1,2,3-Triazole-4-carbonyl azide, 5-amino-1-(2-phenylethyl)- (9CI) (CA
INDEX NAME)

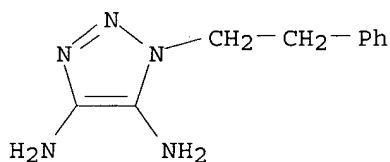
RN 163080-46-4 CAPLUS

CN Carbamic acid, [5-amino-1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]-, ethyl
ester (9CI) (CA INDEX NAME)



RN 163080-47-5 CAPLUS

CN 1H-1,2,3-Triazole-4,5-diamine, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:227800 CAPLUS

DOCUMENT NUMBER: 122:45679

TITLE: Synthesis of new pyrazolo[4,3-e]1,2,4-triazolo[1,5-c]pyrimidine and 1,2,3-triazolo[4,5-e]1,2,4-triazolo[1,5-c]pyrimidine displaying potent and selective activity as A2a adenosine receptor antagonists

AUTHOR(S): Baraldi, Pier Giovanni; Manfredini, Stefano; Simoni, Daniele; Zappaterra, Laura; Zocchi, Cristina; Dionisotti, Silvio; Ongini, Ennio

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Univ. Ferrara, Ferrara, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(21), 2539-44

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:45679

AB A series of pyrazolo[4,3-e]1,2,4-triazolo[1,5-c]pyrimidines and 1,2,3-triazolo[4,5-e]1,2,4-triazolo[1,5-c]pyrimidines were prepd. and evaluated for their activity as adenosine A2a receptor antagonists. In the present study, 5-amino-7-(phenylethyl)-2-(2-furyl)-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine (SCH 58261) was identified as potent and selective adenosine A2a antagonist in binding assays ($K_i = 2.3$ nM, K_i ratio: A2/A2a = 52.6).

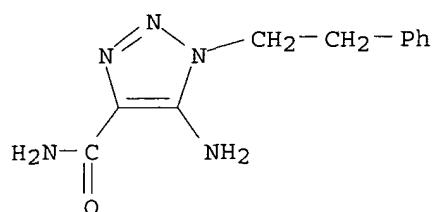
IT 159979-98-3P 159980-01-5P 159980-06-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of new pyrazolotriazolopyrimidines and triazolotriazolopyrimidines displaying potent and selective activity as A2a adenosine receptor antagonists)

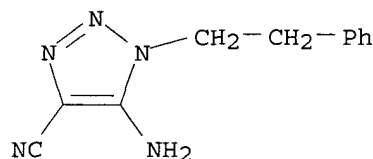
RN 159979-98-3 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



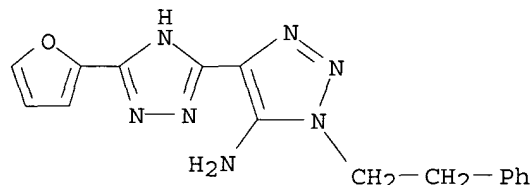
RN 159980-01-5 CAPLUS

CN 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 159980-06-0 CAPLUS

CN 1H-1,2,3-Triazole-5-amine, 4-[5-(2-furanyl)-1H-1,2,4-triazol-3-yl]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:457437 CAPLUS

DOCUMENT NUMBER: 121:57437

TITLE: 1,2,3-Triazolo[4,5-d]pyridazines - II. New derivatives tested on adenosine receptors

AUTHOR(S): Biagi, Giuliana; Giorgi, Irene; Livi, Oreste; Scartoni, Valerio; Martini, Claudia; Tacchi, Paolo; Merlino, Stefano; Pasero, Marco

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, 56126, Italy

SOURCE: Farmaco (1994), 49(3), 175-81

CODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB This paper reports the synthesis and biol. evaluation towards A1 and A2 adenosine receptors of new 1,2,3-triazolo[4,5-d]pyridazines bearing lipophilic substituents in the 1 position. Some 1-benzyl-4-substituted amino derivs. were prepd. and the cyclohexylamino-, anilino- and p-toluidino-derivs. showed an interesting moderately selective activity on the A1 receptor.

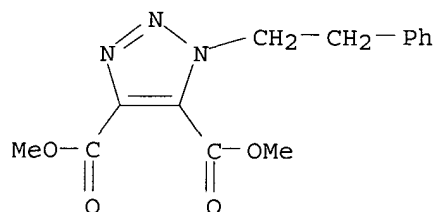
IT 156361-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. and reaction of, with hydrazine)

RN 156361-34-1 CAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-(2-phenylethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

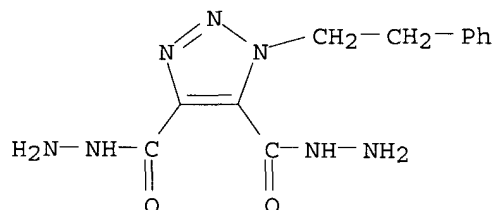


IT 156361-35-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., adenosine receptor affinity, and cyclization of)

RN 156361-35-2 CAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-(2-phenylethyl)-, dihydrazide (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:217447 CAPLUS

DOCUMENT NUMBER: 120:217447

TITLE: Studies on specific inhibition of benzodiazepine receptor binding by some C-benzoyl-1,2,3-triazole derivatives

AUTHOR(S): Biagi, G.; Giorgi, I.; Livi, O.; Lucacchini, A.; Martini, C.; Scartoni, V.

CORPORATE SOURCE: Fac. Farm., Univ. Pisa, Pisa, Italy

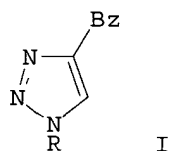
SOURCE: Journal of Pharmaceutical Sciences (1993), 82(9), 893-6

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



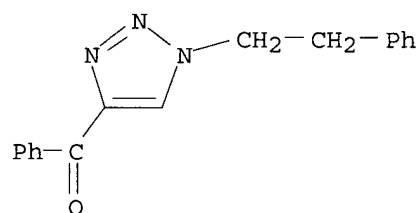
AB New or previously described 1,2,3-triazole derivs., characterized by a C-benzoyl substituent, were synthesized and tested for their ability to displace [3H]flunitrazepam from bovine brain membrane. Compds. I (R = cyclohexyl, phenethyl) showed the higher activity. The 5-benzoyl isomer presented a lower activity, equiv. to that of the triazoleacetic deriv., which is 4-benzyl substituted. Generally, the carboxymethyl radical in the 1-position of the triazole ring decreased the activity, probably because of intramol. hydrogen bonding with the carbonyl function of the benzoyl substituent. The N-1 unsubstituted triazole derivs. were ineffective; this result is in disagreement with the authors previous observations. Probably these mols. interact with the receptor site by a hydrogen bonding acceptor group and by a bulky and lipophilic portion or a hydrogen bonding donor function that is appropriately arranged.

IT 153897-52-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inhibition of benzodiazepine receptor)

RN 153897-52-0 CAPLUS

CN Methanone, phenyl[1-(2-phenylethyl)-1H-1,2,3-triazol-4-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:185397 CAPLUS

DOCUMENT NUMBER: 114:185397

TITLE: Studies on 1,2,3-triazole derivatives as in vitro inhibitors of prostaglandin synthesis

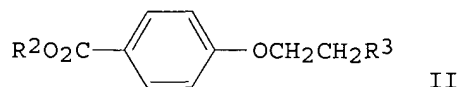
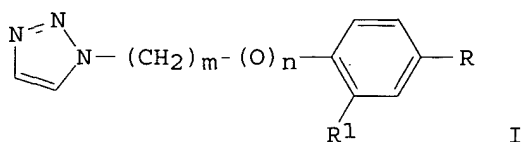
AUTHOR(S): Biagi, Giuliana; Dell'Omodarme, Giuliana; Ferretti, Maria; Giorgi, Irene; Livi, Oreste; Scartoni, Valerio
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, 56100, Italy

SOURCE: Farmaco (1990), 45(11), 1181-92
CODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Triazole derivs. I (R = OCMe₂CO₂Et, OCH₂CH₂CO₂H, R₁ = H, n = 0, m = 1; R = R₁ = H, R = NO₂, NH₂, OH, R₁ = H, NO₂, n = 0, m = 2; R = CO₂Me, CO₂H, R₁ = H, n = 1, m = 2) and other heterocyclic benzoates II (R₂ = Me, H, R₃ = 1-imidazolyl, 1-pyrazolyl, 1-pyrrolyl) were prepd. The antiinflammatory activity of the compds. was investigated through their inhibitory effect on prostaglandin synthetase.

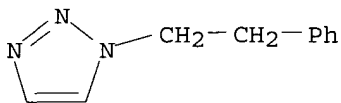
IT **63777-90-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and nitration of)

RN 63777-90-2 CAPLUS

CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:235250 CAPLUS

DOCUMENT NUMBER: 112:235250

TITLE: Synthesis of new 6,7-heteroannulated 3H-1,2,3-triazalo[4,5-d]pyridimidine derivatives

AUTHOR(S): Ried, Walter; Laoutidis, Joannis

CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt/Main, Frankfurt/Main, D-6000, Fed. Rep. Ger.

SOURCE: Synthesis (1989), (10), 739-41

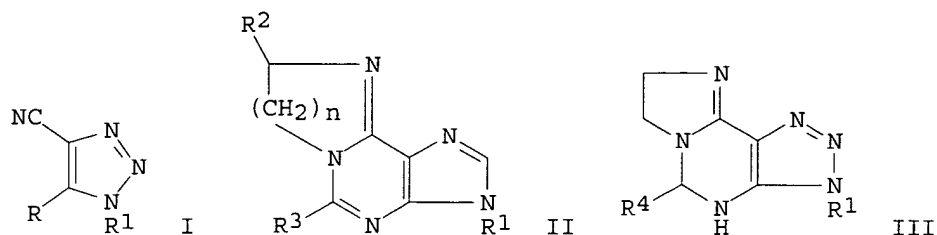
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 112:235250

GI



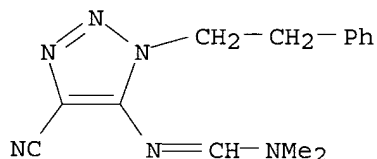
AB Cyclocondensation reaction of 4-amino-5-cyanotriazoles I ($R = \text{NH}_2$, $R_1 = \text{PhCH}_2$, 4-ClC₆H₄CH₂, 2,4-Cl₂C₆H₃CH₂, 4-ClC₆H₄) or iminocyanotriazoles I ($R = \text{Me}_2\text{NCH:N}$, $R_1 = \text{same}$) with $\text{NH}_2(\text{CH}_2)_n\text{CHR}_2\text{NH}_2$ ($n = 1$, $R_2 = \text{H}$, Me; $n = 2, 3$) in the presence of P2S5 catalyst gave 33-79% imidazolyltriazoles which on cyclocondensation with $\text{R}_3\text{C}(\text{OEt})_3$ ($R_3 = \text{H}$, Me) gave 24-77% title compds. II. Title compds. III [$R_1 = \text{PhCH}_2$, 2,4-Cl₂C₆H₃CH₂; $R_4 = \text{H}$, 4-ClC₆H₄, 3-O₂NC₆H₄, 3,4,5-(MeO)₃C₆H₂, 2,6-Cl₂C₆H₃] were also prepd. by cyclocondensation reaction of imidazolyltriazoles with R_4CHO in C₆H₆.

IT 127197-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 127197-87-9 CAPLUS

CN Methanimidamide, N'-[4-cyano-1-(2-phenylethyl)-1H-1,2,3-triazol-5-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:581461 CAPLUS

DOCUMENT NUMBER: 91:181461

TITLE: Tranquilizer composition based on a substituted triazole

PATENT ASSIGNEE(S): ICI Americas, Inc., USA

SOURCE: Fr. Demande, 10 pp.

CODEN: FRXXBL

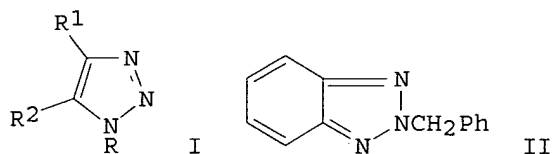
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2388557	A2	19781124	FR 1977-12834	19770427
PRIORITY APPLN. INFO.: GI			FR 1977-12834	19770427



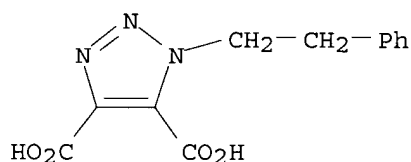
AB Tranquilizer compns. contain the triazoles I (R = Me, Et, Pr, Bu, Ph, 4-BrC₆H₄, ClC₆H₄, 4-ClC₆H₄CH₂, 4-MeOC₆H₄CH₂, C₆Cl₅CH₂, PhCH₂CH₂, PhCH₂, 2-ClC₆H₄CH₂; R₁, R₂ = H, CO₂H; R₁R₂ = CH:CHCH:CH) or II. Thus 100 g I(R = 2-ClC₆H₄, R₁ = R₂ = H) [66913-42-6] was tableted 80% starch, 80g lactose, and 20% talc to give tablets weighing 280 mg each.

IT **66913-43-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 66913-43-7 CAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:517879 CAPLUS

DOCUMENT NUMBER: 89:117879

TITLE: Triazole compositions

INVENTOR(S): Miller, Alfred David

PATENT ASSIGNEE(S): ICI Americas, Inc., USA

SOURCE: S. African, 12 pp.

CODEN: SFXXAB

DOCUMENT TYPE: Patent

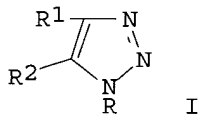
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 7702417	A	19780329	ZA 1977-2417	19770421
PRIORITY APPLN. INFO.:			ZA 1977-2417	19770421

GI



AB A tranquilizer compn. contains triazoles I (R = Me, Et, Pr, CH₂Ph, Ph,

Golam Shameem

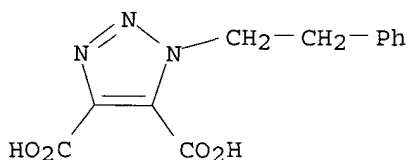
4-BrC₆H₄, 2-ClC₆H₄, 4-ClC₆H₄CH₂, 4-MeOC₆H₄CH₂, C₆Cl₅CH₂, R₁ = R₂ = H; R = Et, Bu, CH₂Ph, CH₂CH₂Ph, Ph, R₁ = R₂ = CO₂H; RR₁ = CH:CHCH:CH, R₂ = CH₂Ph, 2-ClC₆H₄CH₂). Thus a tablet was prepd. from 1-(2-chlorophenyl)-1H-1,2,3-triazole [66913-42-6] 100, starch 80, lactose 80, and talc 20 mg. I(R = CH₂CH₂Ph, R₁ = R₂ = CO₂H) [66913-43-7] was prepd. by cycloaddn. of HO₂CC

IT **66913-43-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 66913-43-7 CAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:517818 CAPLUS

DOCUMENT NUMBER: 89:117818

TITLE: Substituted triazoles as tranquilizers

PATENT ASSIGNEE(S): ICI Americas, Inc., USA

SOURCE: Belg., 11 pp.

CODEN: BEXXAL

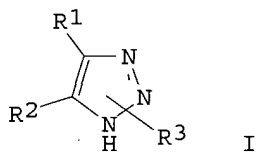
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 853978	A4	19771026	BE 1977-177039	19770426
PRIORITY APPLN. INFO.:			BE 1977-177039	19770426
GI				



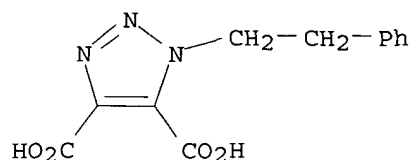
AB Tranquilizing compns. contain a triazole deriv. I. For example, 1,000 280 mg tablets were formulated from a mixt. comprising 1-(2-chlorophenyl)-1H-1,2,3-triazole (II) [66913-42-6] 100, starch 80, lactose powder 80, talc 20. The prepn. of 1-(2-phenethyl)-4,5-dicarboxy-1H-1,2,3-triazole [66913-43-7] from .beta.-phenethylazide [6926-44-9] and acetylenedicarboxylic acid [142-45-0] is described.

IT **66913-43-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

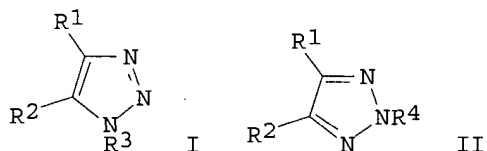
RN 66913-43-7 CAPLUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1978:424368 CAPLUS
 DOCUMENT NUMBER: 89:24368
 TITLE: Triazole derivatives
 INVENTOR(S): Miller, Alfred David
 PATENT ASSIGNEE(S): ICI Americas, Inc., USA
 SOURCE: S. African, 64 pp.
 CODEN: SFXAB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 7606188	A	19770928	ZA 1976-6188	19761018
PRIORITY APPLN. INFO.: GI			ZA 1976-6188	19761018



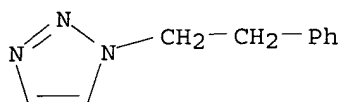
AB The triazoles I and II (R1, R2 = H, HO2C, Ph, C1-4 alkyl, NH2, 1-piperidinecarbonyl and C1-4 hydroxyalkyl, R1R2 = CH:CHCH:CH; R3, R4 = unsubstituted or substituted alkyl and aralkyl) (about 100 compds.) were prepd. Thus, Ph(CH2)3N3 was cyclized with HO2CC.tplbond.CCO2H to give I [R1 = R2 = CO2H, R3 = Ph(CH2)3], which was decarboxylated to give I [R1 = R2 = H, R3 = Ph(CH2)3]. The tranquilizer ED50 of I (R1 = R2 = H, R3 = p-ClC6H4CH2) was 132 mg/kg in the rotarod test.

IT 63777-90-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

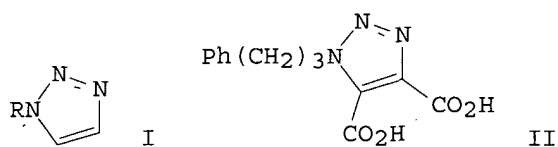
RN 63777-90-2 CAPLUS

CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1977:502338 CAPLUS
 DOCUMENT NUMBER: 87:102338
 TITLE: Triazoles
 INVENTOR(S): Miller, Alfred David
 PATENT ASSIGNEE(S): ICI United States, Inc., USA
 SOURCE: Ger. Offen., 53 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2648826	A1	19770505	DE 1976-2648826	19761027
NO 7603569	A	19770429	NO 1976-3569	19761019
AU 7618811	A1	19780427	AU 1976-18811	19761019
BE 847480	A1	19770420	BE 1976-171671	19761020
DK 7604781	A	19770429	DK 1976-4781	19761022
JP 52053863	A2	19770430	JP 1976-128163	19761025
FR 2329275	A1	19770527	FR 1976-32136	19761025
FR 2329275	B1	19781215		
SE 7611884	A	19770429	SE 1976-11884	19761026
FI 7603050	A	19770429	FI 1976-3050	19761026
NL 7611944	A	19770502	NL 1976-11944	19761028
PRIORITY APPLN. INFO.:			US 1975-626140	19751028
GI				

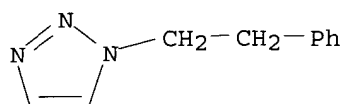


AB Triazoles I (e.g., R = 2-ClC6H4CH2, 2,3,6-Cl3C6H2CH2, 4-F3CC6H4CH2, Ph(CH2)3, 3-BrC6H4CH2, 2,6-Cl2C6H3CH2, 4-FC6H4CO(CH2)3, 2-ClC6H4CH:CHCH2, hexyl) were prepd. Thus, Ph(CH2)3Br was treated with NaN3, Ph(CH2)3N3 condensed with HO2CC.tplbond.CCO2H, and II decarboxylated to give I [R = Ph(CH2)3] (III). III gave 33% protection against oxotremorin-induced tremor at 100 mg/kg i.p. in mice.

IT **63777-90-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 63777-90-2 CAPLUS

CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1967:482171 CAPLUS

DOCUMENT NUMBER: 67:82171

TITLE: Enamines. XXI. Reactions between aryl azides and acetone ketimines and between aryl alkyl ketones and .beta.-oxo esters

AUTHOR(S): Bianchetti, Giuseppe; Pocar, Donato; Dalla Croce, Piero; Stradi, Riccardo

CORPORATE SOURCE: Univ. Milan, Milan, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(3), 304-20

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

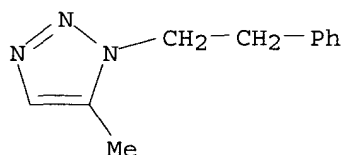
AB cf. preceding abstr. Syntheses of $RCH_2CR_1:NR_2$ (I), prepd. from Me_2CO or acetophenone and aliphatic amines, treated with aryl azides gave, via unstable triazoline intermediates (II), 1-alkyl-5-methyl-v-triazoles (III). Ketimines from phenylacetone and propiophenone yield more stable triazoline derivs., while ketimines from Me or Et acetoacetate give instead (like the corresponding enamines) 1-aryl-5-methyl-v-triazole-4-carboxylates. Equimolar amts. of I ($R = H$, $R_1 = Me$, $R_2 = Pr$) and p-O₂NC₆H₄N₃ (or other aryl azide) in CHCl₃ refluxed 3.5 hrs. gave 60% III ($R = H$, $R_1 = Me$, $R_2 = Pr$) (IV), b₁₅ 135.degree., n_{20D} 1.4225. Similarly, the appropriate I and aryl azide gave the tabulated III. [TABLE OMITTED] The Schiff bases, I, listed in the second table were prepd. by keeping an equimol. mixt. of an amine and the corresponding ketone with 0.5 cc. HCl 12 hrs. The following II ($Ar = C_6H_4NO_2-4$) were prepd. by refluxing 3.5 hrs. (or keeping 12 to 24 hrs.) a CHCl₃ soln. of equimol. amts. of the appropriate Schiff base and p-O₂NC₆H₄N₃ (R , R_1 , R_2 , m.p., and % yield given): H, Me, PhCH₂, 129.degree., 63; H, Me, cyclohexyl, 94.degree., 58; Ph, Me, morpholino, 158.degree., 80; H, Ph, Bu, 102.degree., 60; Me, Ph, Pr, 121.degree., 67. [TABLE OMITTED] The following III were prepd. from II by elimination of a mol. of arylamine on heating with acids (R , R_1 , R_2 , b.p., refractive index, % yield given): H, Ph, Bu, b_{0.5} 130.degree., n_{20D} 1.578, 77; Me, Ph, Pr, b₁ 145.degree., n_{20D} 1.561, 70. Butyl azide (3.3 g.) and 3.5 g. N-isopropylidenepropylamine heated in a closed tube 70 hrs. at 120.degree. gave an equimolar mixt. of IV and V. Alk. hydrolysis of VI or VII gave III ($R = CO_2H$, $R_1 = Me$, $R_2 = p-O_2NC_6H_4$), m. 188.degree..

IT 15922-60-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15922-60-8 CAPLUS

CN 1H-1,2,3-Triazole, 5-methyl-1-phenethyl- (8CI) (CA INDEX NAME)



03/24/2003

=> d his

(FILE 'HOME' ENTERED AT 11:15:08 ON 24 MAR 2003)

FILE 'REGISTRY' ENTERED AT 11:15:27 ON 24 MAR 2003

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 22 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:15:52 ON 24 MAR 2003

L4 26 S L3

L5 18 S L3/P

=> s l5 and base

564107 BASE

131125 BASES

645672 BASE

(BASE OR BASES)

L6 2 L5 AND BASE

=> d ibib abs hitstr l6 tot

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72064 CAPLUS

DOCUMENT NUMBER: 136:118452

TITLE: Method for producing 1-substituted-1,2,3-triazole derivatives

INVENTOR(S): Ikemoto, Tomomi; Ito, Tatsuya; Tomimatsu, Kiminori;
Sawai, Yasuhiro; Nishiyama, Hirohiko; Isogami, Yasushi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

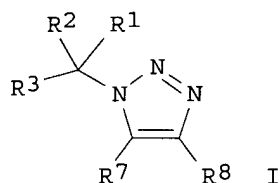
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

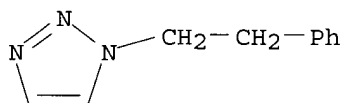
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006249	A1	20020124	WO 2001-JP6145	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003048882	A2	20030221	JP 2001-218718	20010718
PRIORITY APPLN. INFO.:				
			JP 2000-218814	A 20000719
			JP 2000-218834	A 20000719
			JP 2000-284925	A 20000920
			JP 2001-160464	A 20010529
OTHER SOURCE(S): CASREACT 136:118452; MARPAT 136:118452				
GI				

Golam Shameem



- AB The title compds., e.g. I [R1, R2 = H, (un)substituted hydrocarbon group, etc.; R3 = R6(CR4R5)m; R4, R5 = H, (un)substituted hydrocarbon group, etc.; R6 = (un)substituted arom. moiety; m = 0 - 10; R7, R8 = H, halo, etc.], are prepd. by reacting R1C(R2)(R3)X [X = leaving group] with appropriate triazole derivs. (a) in a secondary or tertiary alc. in the presence of a **base** or (b) in the absence of a **base**. Thus, a mixt. of 1-(4-chlorobutyl)-4-methoxybenzene, 1H-1,2,3-triazole, potassium iodide, and sodium hydroxide in tert-butanol was refluxed for 11 h to give, after workup and treatment with methanesulfonic acid, 1-[4-(4-methoxyphenyl)butan-1-yl]-1H-1,2,3-triazole methanesulfonic acid salt (II) in 73% yield. II is an intermediate in the prepn. of 1-[4-[4-[[2-[(E)-2-(4-trifluoromethyl)phenyl]ethenyl]-1,3-oxazol-4-yl]methoxy]phenyl]butyl]-1H-1,2,3-triazole (III), useful as a tyrosine kinase inhibitor (no data). A pharmaceutical compn. contg. crystals of III is claimed.
- IT **63777-90-2P**
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (method for producing triazole derivs.)
- RN 63777-90-2 CAPLUS
- CN 1H-1,2,3-Triazole, 1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1967:482171 CAPLUS

DOCUMENT NUMBER: 67:82171

TITLE: Enamines. XXI. Reactions between aryl azides and acetone ketimines and between aryl alkyl ketones and .beta.-oxo esters

AUTHOR(S): Bianchetti, Giuseppe; Pocar, Donato; Dalla Croce, Piero; Stradi, Riccardo

CORPORATE SOURCE: Univ. Milan, Milan, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(3), 304-20
 CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB cf. preceding abstr. Syntheses of RCH2CR1:NR2 (I), prepd. from Me2CO or acetophenone and aliphatic amines, treated with aryl azides gave, via unstable triazoline intermediates (II), 1-alkyl-5-methyl-v-triazoles

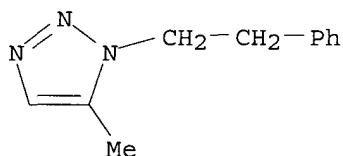
(III). Ketimines from phenylacetone and propiophenone yield more stable triazoline derivs., while ketimines from Me or Et acetoacetate give instead (like the corresponding enamines) 1-aryl-5-methyl-1,2,4-triazole-4-carboxylates. Equimolar amts. of I (R = H, R1 = Me, R2 = Pr) and p-O2NC6H4N3 (or other aryl azide) in CHCl3 refluxed 3.5 hrs. gave 60% III (R = H, R1 = Me, R2 = Pr) (IV), b15 135.degree., n20D 1.4225. Similarly, the appropriate I and aryl azide gave the tabulated III. [TABLE OMITTED] The Schiff **bases**, I, listed in the second table were prepd. by keeping an equimol. mixt. of an amine and the corresponding ketone with 0.5 cc. HCl 12 hrs. The following II (Ar = C6H4NO2-4) were prepd. by refluxing 3.5 hrs. (or keeping 12 to 24 hrs.) a CHCl3 soln. of equimol. amts. of the appropriate Schiff **base** and p-O2NC6H4N3 (R, R1, R2, m.p., and % yield given): H, Me, PhCH2, 129.degree., 63; H, Me, cyclohexyl, 94.degree., 58; Ph, Me, morpholino, 158.degree., 80; H, Ph, Bu, 102.degree., 60; Me, Ph, Pr, 121.degree., 67. [TABLE OMITTED] The following III were prepd. from II by elimination of a mol. of arylamine on heating with acids (R, R1, R2, b.p., refractive index, % yield given): H, Ph, Bu, b0.5 130.degree., n20D 1.578, 77; Me, Ph, Pr, b1 145.degree., n20D 1.561, 70. Butyl azide (3.3 g.) and 3.5 g. N-isopropylidenepropylamine heated in a closed tube 70 hrs. at 120.degree. gave an equimolar mixt. of IV and V. Alk. hydrolysis of VI or VII gave III (R = CO2H, R1 = Me, R2 = p-O2NC6H4), m. 188.degree..

IT 15922-60-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15922-60-8 CAPLUS

CN 1H-1,2,3-Triazole, 5-methyl-1-phenethyl- (8CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

94.94

243.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-13.02

-13.02

STN INTERNATIONAL LOGOFF AT 11:19:20 ON 24 MAR 2003